PCT/EP2003/012767
EC, ID, NZ, OAPI

PATENT COOPERATION TREATY

From the INTERNATIONAL BUREAU US - Birch

Patente, Marken u. Lizenzen

29. Juni 2005

PCT

NOTIFICATION OF TRANSMITTAL
OF COPIES OF TRANSLATION
OF THE INTERNATIONAL PRELIMINARY REPORT
ON PATENTABILITY
(CHAPTER I OR CHAPTER II
OF THE PATENT COOPERATION TREATY)
(PCT Rule 72.2)

To:

BASF AKTIENGESELLSCHAFT
67056 Ludwigshafen
ALLEMAGNE

1. AST
2. Ref

Phase beendet 20.06.05

Date of mailing *(day/month/year)* 23 June 2005 (23.06.2005)	
Applicant's or agent's file reference 0000054071	**IMPORTANT NOTIFICATION**
International application No. PCT/EP2003/012767	International filing date *(day/month/year)* 14 November 2003 (14.11.2003)
Applicant BASF AKTIENGESELLSCHAFT et al	

1. **Transmittal of the translation to the applicant.**

The International Bureau transmits herewith a copy of the English translation made by the International Bureau of the international preliminary examination report established by the International Preliminary Examining Authority.

2. **Transmittal of the copy of the translation to the elected Offices.**

The International Bureau notifies the applicant that copies of that translation have been transmitted to the following elected Offices requiring such translation:

AZ, CA, CH, CN, CO, GH, KG, KP, KR, MK, MZ, RU, TM

The following elected Offices, having waived the requirement for such a transmittal at this time, will receive copies of that translation from the International Bureau only upon their request:

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3. **Reminder regarding translation into (one of) the official language(s) of the elected Office(s).**

The applicant is reminded that, where a translation of the international application must be furnished to an elected Office, that translation must contain a translation of any annexes to the international preliminary examination report.

It is the applicant's responsibility to prepare and furnish such translation directly to each elected Office concerned (Rule 74.1). See Volume II of the PCT Applicant's Guide for further details.

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Translation

PCT/EP2003/012767

PATENT COOPERATION TREATY

PCT

INTERNATIONAL PRELIMINARY REPORT ON PATENTABILITY
(Chapter II of the Patent Cooperation Treaty)

(PCT Article 36 and Rule 70)

Applicant's or agent's file reference 0000054071	FOR FURTHER ACTION	See Form PCT/IPEA/416
International application No. PCT/EP2003/012767	International filing date (*day/month/year*) 14 November 2003 (14.11.2003)	Priority date (*day/month/year*) 15 November 2002 (15.11.2002)
International Patent Classification (IPC) or national classification and IPC A01N 43/90		
Applicant BASF AKTIENGESELLSCHAFT et al		

1. This report is the international preliminary examination report, established by this International Preliminary Examining Authority under Article 35 and transmitted to the applicant according to Article 36.

2. This REPORT consists of a total of 9 sheets, including this cover sheet.

3. This report is also accompanied by ANNEXES, comprising:

   a. ☒ *(sent to the applicant and to the International Bureau)* a total of 6 sheets, as follows:

      ☐ sheets of the description, claims and/or drawings which have been amended and are the basis of this report and/or sheets containing rectifications authorized by this Authority (see Rule 70.16 and Section 607 of the Administrative Instructions).

      ☐ sheets which supersede earlier sheets, but which this Authority considers contain an amendment that goes beyond the disclosure in the international application as filed, as indicated in item 4 of Box No. I and the Supplemental Box.

   b. ☐ *(sent to the International Bureau only)* a total of (indicate type and number of electronic carrier(s)) _____, containing a sequence listing and/or tables related thereto, in computer readable form only, as indicated in the Supplemental Box Relating to Sequence Listing (see Section 802 of the Administrative Instructions).

4. This report contains indications relating to the following items:

☒	Box No. I	Basis of the report
☐	Box No. II	Priority
☐	Box No. III	Non-establishment of opinion with regard to novelty, inventive step and industrial applicability
☒	Box No. IV	Lack of unity of invention
☒	Box No. V	Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement
☐	Box No. VI	Certain documents cited
☐	Box No. VII	Certain defects in the international application
☐	Box No. VIII	Certain observations on the international application

Date of submission of the demand 28 May 2004 (28.05.2004)	Date of completion of this report 28 February 2005 (28.02.2005)
Name and mailing address of the IPEA/EP Facsimile No.	Authorized officer Telephone No.

INTERNATIONAL PRELIMINARY REPORT ON PATENTABILITY

International application No.
PCT/EP2003/012767

Box No. I Basis of the report

1. With regard to the **language**, this report is based on the international application in the language in which it was filed, unless otherwise indicated under this item.

☐ This report is based on translations from the original language into the following language _____, which is language of a translation furnished for the purpose of:

☐ international search (under Rules 12.3 and 23.1(b))

☐ publication of the international application (under Rule 12.4)

☐ international preliminary examination (under Rules 55.2 and/or 55.3)

2. With regard to the **elements** of the international application, this report is based on *(replacement sheets which have been furnished to the receiving Office in response to an invitation under Article 14 are referred to in this report as "originally filed" and are not annexed to this report)*:

☒ The international application as originally filed/furnished

☒ the description:

pages 1-22, as originally filed/furnished

pages* _____ received by this Authority on _____

pages* _____ received by this Authority on _____

☒ the claims:

pages _____, as originally filed/furnished

pages* _____, as amended (together with any statement) under Article 19

pages* 1-13 received by this Authority on 30 November 2004 (30.11.2004)

pages* _____ received by this Authority on _____

☐ the drawings:

pages _____, as originally filed/furnished

pages* _____ received by this Authority on _____

pages* _____ received by this Authority on _____

☐ a sequence listing and/or any related table(s) – see Supplemental Box Relating to Sequence Listing.

3. ☐ The amendments have resulted in the cancellation of:

☐ the description, pages _____

☐ the claims, Nos. _____

☐ the drawings, sheets/figs _____

☐ the sequence listing *(specify)*: _____

☐ any table(s) related to sequence listing *(specify)*: _____

4. ☐ This report has been established as if (some of) the amendments annexed to this report and listed below had not been made, since they have been considered to go beyond the disclosure as filed, as indicated in the Supplemental Box (Rule 70.2(c)).

☐ the description, pages _____

☐ the claims, Nos. _____

☐ the drawings, sheets/figs _____

☐ the sequence listing *(specify)*: _____

☐ any table(s) related to sequence listing *(specify)*: _____

* *If item 4 applies, some or all of those sheets may be marked "superseded."*

Supplemental Box
(To be used when the space in any of the preceding boxes is not sufficient)

Continuation of: IV.3

1.1 In the light of the description (page 7, first paragraph; and page 9, last paragraph) and the prior art in citation D1, the general problem addressed by the application can be considered that of providing further synergistic mixtures of triazolopyrimidines with other fungicides for the control of harmful fungi. The control of mildews is especially emphasised in the first instance.

1.2 The actual examples are, however, directed to other and more specific problems, namely the provision of further highly effective agents for the control of rice diseases and for the control of *Oomycetes* (see the first two paragraphs on page 10 of the description).

The description (see page 10, third and fourth paragraphs) even explicitly emphasises the fact that these problems are markedly different from the problem emphasised in 1.1 above, and that the solutions cannot therefore be expected to be applicable from one case to another.

The same also applies *mutatis mutandis* to the relationship between these two problems solved in the examples.

Pathogens causing typical rice diseases, for example *Pyricularia oryzae*, *Cochliobolus miyabeanus* and *Corticium sasakii* (syn. *Rhizoctonia solani*), are *Ascomycetes* or *Basidiomycetes* but not *Oomycetes*, whereas typical *Oomycetes* such as *Phytophthora infestans* and *Plasmopara*

Supplemental Box
(To be used when the space in any of the preceding boxes is not sufficient)

Continuation of: IV.3

viticola are not rice pathogens. The subject matter of the claims as a whole therefore already appears to lack the common special technical feature that establishes unity of invention *a priori*, i.e. one that solves the same problem. There is therefore already lack of unity *a priori* (PCT Rule 13.1 and 13.2).

It must be considered pure chance that the two problems have the same solution. Since the subject matter of the claims as defined at present necessarily solves both problems as a result of this chance identity of the solutions, a subdivision on the basis of such a lack of unity is superfluous. The subject matter of claims 8 and 9 is also considered to be identical, since a method is characterised merely by the method steps. The intention with which a method is carried out is of no importance for the method *per se*. By contrast, corresponding use claims (for the control of rice diseases and for the control of *Oomycetes* respectively) would have to be regarded as differing subjects of the invention.

2. However, the subject matter of the claims also has to be regarded as lacking unity of invention *a posteriori*.

Reasons:
The subject matter of the claims is hereinafter deemed to be a solution to the first specific problem indicated under 1.2 above (control of rice diseases). Similar considerations would apply if the subject matter were deemed to be a solution to the second specific problem

Supplemental Box
(To be used when the space in any of the preceding boxes is not sufficient)

Continuation of: IV.3

(control of *Oomycetes*).

The proposed solution is characterised by the use of the specific triazolopyrimidine of formula I (hereinafter referred to as TP1) in combination with a fungicidal azole derivative selected from a list of 17 such derivatives.

D1 discloses combinations of triazolopyrimidines of a general formula which also covers TP1 with *inter alia* fungicidal triazole derivatives. The triazolopyrimidines preferably have as substituent a 2-chloro-6-fluorophenyl or a 2,4,6-trifluorophenyl substituent. Compounds of this structure which are preferred and exemplified are the 2-chloro-6-fluorophenyl analogue of TP1 in the present application, which likewise has a 7-(4-methylpiperid-1-yl) substituent (hereinafter referred to as TPa), and the 7-(1,1,1-trifluoroprop-2-ylamino) analogue of TP1, which likewise has a 2,4,6-trifluorophenyl substituent (hereinafter referred to as TPb).

The preferred triazole derivatives are covered by a formula which also covers the azoles according to formulae III, VIII and XVI (difenoconazole, hexaconazole, ipconazole) in the present application. Mixtures which are exemplified are those with tebuconazole, which is similar to the azole of formula VIII (hexaconazole), metconazole, which is very similar to the azoles of formulae XVI and XVII (ipconazole, triticonazole) (metconazole is the dihydro derivative of triticonazole), propiconazole, which is similar to the azole of

Supplemental Box
(To be used when the space in any of the preceding boxes is not sufficient)

Continuation of: IV.3

formula III (difenoconazole), and cyproconazole and epoxiconazole (see the passages in D1 cited in the search report).

The technical feature common to the subject matter of the claims as a whole is the combination of the specific fungicide TP1 with fungicides having as their common feature the fact that they are "conazoles".

With regard to the latter point, it should be emphasised that the presence of such a feature in the prior art is implicitly considered to be sufficient basis for expecting physical entities which share this feature and differ only in further details to have the same technical effects. D1 (see above) illustrates this with regard to synergistic effects by virtue of the fact that it uses a general formula for the triazoles. D2 illustrates this viewpoint with regard to generally expected advantages of combinations, since it proposes mixing the compound TP1 with fungicidal azoles. All the azoles referred to in the present application are listed, apart from those of formulae XV and XVIII; also mentioned, moreover, and thus evidently considered equivalent, are the exemplary compounds from citation D1, namely tebuconazole, metconazole, cyproconazole, epoxiconazole and propiconazole (see the passages in D2 cited in the search report).

Citation D3, lastly, which discloses synergistic fungicidal mixtures of certain strobilurin derivatives with a total of 17 azoles, namely the first 12 in the

Supplemental Box
(To be used when the space in any of the preceding boxes is not sufficient)

Continuation of: IV.3

present application and the five exemplary azoles from citation D1, confirms the assumption of a person skilled in the art that the latter compounds and former compounds are also interchangeable with respect to the attainment of synergistic effects (see the passages in D3 cited in the search report).

Although this does not signify that triazolopyrimidines which are completely different from strobilurins would also produce a synergistic effect with these azoles specifically, a skilled person may still conclude that azoles can normally be expected to be interchangeable in terms of their synergistic effects. The requirement of unity of invention (PCT Article 34(3)(a) in conjunction with Rule 13.1) would accordingly be satisfied.

It is, however, self-evident that the criteria applied to the class to which the first component belongs, that is to say the triazolopyrimidines, must be the same as those applied to the class to which the second component belongs, that is to say the triazoles.

TP1 has comparable features in common with the prior art triazolopyrimidines TPa and TPb.

If, in the mixtures proposed in citation D1 and characterised *inter alia* by a general formula for triazolopyrimidines which also includes TP1, it is not obvious to a skilled person to replace the TPa or TPb of the specific examples with the superior TP1 to solve the problem because he would not have expected applicability,

Supplemental Box
(To be used when the space in any of the preceding boxes is not sufficient)

Continuation of: IV.3

then this must also be true of the different azoles within the subject matter of the present claims.

If an inventive step is acknowledged in respect of the subject matter of the claims as a whole, each of the combinations is a separate invention; that is to say, none was deducible from any of the others.

This yields a total of 17 inventions:

1. Fungicidal mixtures containing as active component the triazolopyrimidine of formula I in claim 1 and an azole derivative or salts or adducts thereof of formula II (bromuconazole), corresponding methods, etc.

17. ... and an azole derivative or salts or adducts thereof of formula XVIII (prothioconazole) ...

Since the whole of the subject matter of the application has been searched, and since an examination report can be similarly established for all the subjects on the basis of the same fundamental considerations, the International Preliminary Examining Authority sees no reason to invite the applicant to pay further examination fees.

INTERNATIONAL PRE[redacted]NARY EXAMINATION REPORT

International application No.
PCT/EP 03/12767

V. Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement

1. Statement

Novelty (N)	Claims	1-13	YES
	Claims		NO
Inventive step (IS)	Claims	1-13	YES
	Claims		NO
Industrial applicability (IA)	Claims	1-13	YES
	Claims		NO

2. Citations and explanations

The following search report citations D1 to D3 are specified in this report; the same numbering will be used throughout the procedure:

D1: EP988790 A
D2: WO98/46607 A
D3: WO97/06678 A

Novelty

The subject matter of all the claims is considered novel (PCT Article 33(1) in conjunction with PCT Article 33(2)).

The prior art does not disclose the combinations of the triazolopyrimidine of formula I (hereinafter referred to as TP1) with one of the 17 azole fungicides listed.

Inventive step

The subject matter of all the claims is considered to involve an inventive step (PCT Article 33(1) in conjunction with PCT Article 33(3)).

The following reasons are based on the first invention referred to in Box IV above (mixtures with bromuconazole) and the first problem referred to in point 1.2 of Box IV

above (control of rice diseases).

Proceeding from the second problem (control of *Oomycetes*), similar considerations would arise on the basis of the difference between the *Oomycetes* class and the "true" fungi of the *Ascomycetes* and *Basidiomycetes* class, which is a significant difference but one that is barely substantiated in the description.

Analogous reasons can be stated for the other inventions 2 to 17 defined in Box IV above.

In the light of the description (especially page 10, lines 5 to 32) and the corresponding closest prior art in citation D2, the problem addressed by the application can be considered that of providing agents containing triazolopyrimidine fungicides for the control of rice diseases.

The proposed solution is characterised by the use of the specific triazolopyrimidine TP1 in combination with the fungicidal azole derivative bromuconazole.

The closest prior art in citation D2 discloses trifluorophenyl-triazolopyrimidines, including the compound TP1. Their enhanced systemicity and toxicity against rice diseases and mildew are emphasised (see D2, page 7, lines 9 to 22). Their suitability for the control of the mildew *Uncinula necator* is particularly emphasised. A comparative example shows that they are superior to the 2-chloro-6-fluorophenyl analogue of TP1 (hereinafter referred to as TPa) in the control of *Uncinula necator*. D2 also proposes mixing them with fungicidal azoles. Bromuconazole is also listed there (see the passages in D2 cited in the search report).

D1 discloses combinations of triazolopyrimidines of a general formula which also covers TP1 with *inter alia* fungicidal triazole derivatives. The triazolopyrimidines preferably have as substituent a 2-chloro-6-fluorophenyl or a 2,4,6-trifluorophenyl substituent. Compounds of this structure which are preferred and exemplified are the 2-chloro-6-fluorophenyl analogue of TP1 in the present application, which likewise has a 7-(4-methylpiperid-1-yl) substituent (TPa), and the 7-(1,1,1-trifluoroprop-2-ylamino) analogue of TP1, which likewise has a 2,4,6-trifluorophenyl substituent. Species of the genera *Blumeria*, *Botrytis*, *Septoria*, *Erysiphe* and *Puccinia* are mentioned as fungi to be controlled, and their successful control in wheat, barley, apples, cucumbers and tomatoes is demonstrated in examples.

The preferred triazole derivatives are cyproconazole, epoxiconazole, metconazole, propiconazole and tebuconazole. Bromuconazole is not explicitly mentioned (see the passages in D1 cited in the search report).

In view of the specific requirements for the control of rice diseases (see page 10, lines 8 to 32, of the description in the present application) a person skilled in the art could not have expected the combination of compound TP1 known from D2 with the conazole bromuconazole to lead to a highly effective agent for the control of rice diseases. A mixture of this kind would be equivalent to replacing the triazolopyrimidines used in D1 with TP1, the superiority of which D2 demonstrates only in respect of the control of the mildew *Uncinula necator* however, while at the same time replacing the conazole components used in the D1 mixtures by bromuconazole, which is not mentioned therein; what is more, D1 does not suggest that

its combinations are especially suitable for the control of rice diseases.

Industrial applicability

The subject matter of the claims is considered to be industrially applicable (PCT Article 33(1) and (4)).